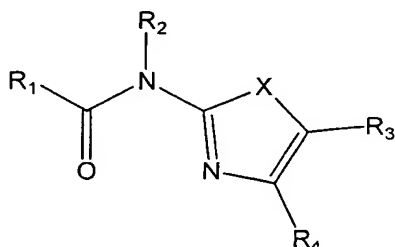


CLAIMS

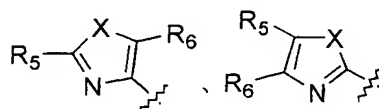
What is claimed is:

1. A methionine aminopeptidase inhibitor represented by the general formular



wherein

R₁ is selected from the group consisting of C₁-C₄ alkyl, substituted alkyl, C₃-C₆ cycloalkyl, substituted cycloalkyl, aryl, pyridyl; substituted aryl and substituted pyridyl wherein the substituents can be optionally selected from the group consisting of C₁-C₄ alkyl, nitro, carboxyl, aldehyde, alkoxy, alkylamino, acylamide, alkylthio; heterocycle or substituted heterocycle having the following structure:



R₅, R₆ are selected from the group consisting of hydrogen, C₁-C₄ alkyl, substituted alkyl, C₃-C₆ cycloalkyl, substituted cycloalkyl, aryl, pyridyl; substituted aryl and substituted pyridyl wherein the substituents can be optionally selected from the group consisting of nitro, carboxyl, aldehyde, alkoxy, alkylamino, acylamide, alkylthio;

R₂ is selected from the group consisting of hydrogen, C₁-C₄ alkyl, substituted alkyl, aryl, substituted aryl wherein the substituents can be optionally selected from the group consisting of C₁-C₄ alkyl, nitro, carboxyl, aldehyde, alkoxy, alkylamino, acylamide, alkylthio;

R₃ is selected from the group consisting of hydrogen, C₁-C₄ alkyl, substituted C₁-C₄ alkyl, halogen atoms; aryl, substituted aryl;

R₄ is selected from the group consisting of hydrogen, C₁-C₄ alkyl, substituted alkyl, substituted aryl;

X is selected from the group consisting of O、S、N.

2. A methionine aminopeptidase inhibitor according to claim 1 in which R₁ is selected from the group consisting of pyridyl, substituted pyridyl wherein the substituents can be optionally selected from the group consisting of halogen atoms, acylamide, alkoxy, hydroxyl, carboxyl, alkoxycarbonyl, ether;

R₂ is hydrogen;

R₃ is selected from the group consisting of hydrogen, Br, alkyl;

R₄ is selected from the group consisting of hydrogen, alkyl, substituted aryl;

3. A methionine aminopeptidase inhibitor according to claim 1 in which R₁ is selected from the group consisting of aryl, substituted aryl wherein the substituents can be optionally selected from the group consisting of nitro, alkylamino, C₁-C₄ alkoxy, hydroxyl, carboxyl, benzyl;

R₂ is hydrogen;

R₃ is selected from the group consisting of hydrogen, halogen atoms, C₁-C₄ alkyl;

R₄ is selected from the group consisting of hydrogen, C₁-C₄ alkyl, substituted aryl;

4. A methionine aminopeptidase inhibitor according to claim 1 in which R₁ is selected from the group consisting of heterocycle, substituted heterocycle;

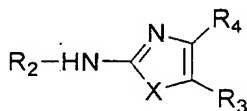
R₂ is hydrogen;

R₃ is hydrogen;

R₄ is hydrogen;

R₅, R₆ are selected from the group consisting of hydrogen, C₁-C₄ alkyl, substituted alkyl, C₃-C₆ cycloalkyl, substituted cycloalkyl, aryl, pyridyl; substituted aryl and substituted pyridyl wherein the substituents can be optionally selected from the group consisting of nitro, carboxyl, aldehyde, alkoxy, alkylamino, acylamide, alkylthio;

5. A process for the preparation of a methionine aminopeptidase inhibitor as defined in claim 1 which comprises condensating of a compound of the general formula R₁COY with a compound of the general formula



in which Y represents hydroxyl, halogen atoms and the other activated group;

6. A process for the preparation of a methionine aminopeptidase inhibitor as defined in claim 4 wherein the dehydration reagents used in this reaction may be DCC、ECD、

DIC、HBTU;

7. A process for the preparation of a methionine aminopeptidase inhibitor as defined in claim 4 wherein the solvent used in this condensation reaction may be CH_2Cl_2 , DMF, $\text{CH}_2\text{ClCH}_2\text{Cl}$, toluene, benzene, H_2O , dioxane or the mixture of the above solvents;
8. A process for the preparation of a methionine aminopeptidase inhibitor as defined in claim 4 wherein the reaction temperature is from -20°C to room temperature, in some cases, the heating is necessary, from 50°C to 130°C ;
9. A process for the preparation of a methionine aminopeptidase inhibitor as defined in claim 4 wherein the proper activated reagents of the condensation reaction were used, such as, HOBT、pentafluorophenol, molecular series;
10. A process for the preparation of a methionine aminopeptidase inhibitor as defined in claim 4 wherein the proper base of the condensation reaction such as Et_3N , $\text{I-Pr}_2\text{EtN}$, Pyridine, DMAP were used as catalyst;
11. A methionine aminopeptidase inhibitor as claimed in claim 1, wherein these compounds were used as antitumor, and anti-infection lead compounds.